

10/531,412

=> file casreact

FILE 'CASREACT' ENTERED AT 15:53:32 ON 21 SEP 2006

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FILE CONTENT:1840 - 17 Sep 2006 VOL 145 ISS 12

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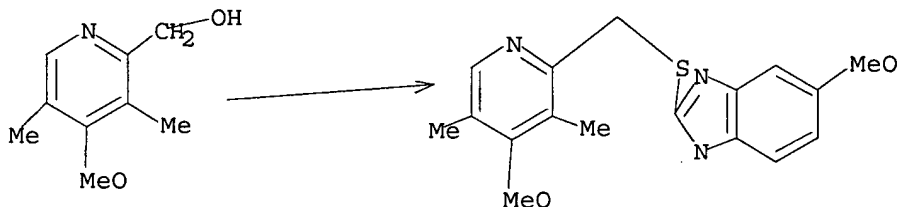
\*\*\*\*\*  
\*  
\* CASREACT now has more than 10 million reactions \*  
\*  
\*\*\*\*\*

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 4 SEA FILE=CASREACT SSS FUL L1 ( 38 REACTIONS)

=> d l3 1-4 ibib abs fcrd

L3 ANSWER 1 OF 4 CASREACT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 142:219287 CASREACT

TITLE: Process for preparing isomerically pure prodrugs of proton pump inhibitors such as omeprazole and pantoprazole

INVENTOR(S): Garst, Michael E.; Dolby, Lloyd Jay; Esfandiari, Shervin; Mackenzie, Vivian Rose; Avey, Alfred Arthur; Muchmore, David Charles; Cooper, Geoffrey Kenneth; Malone, Thomas C.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 44 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

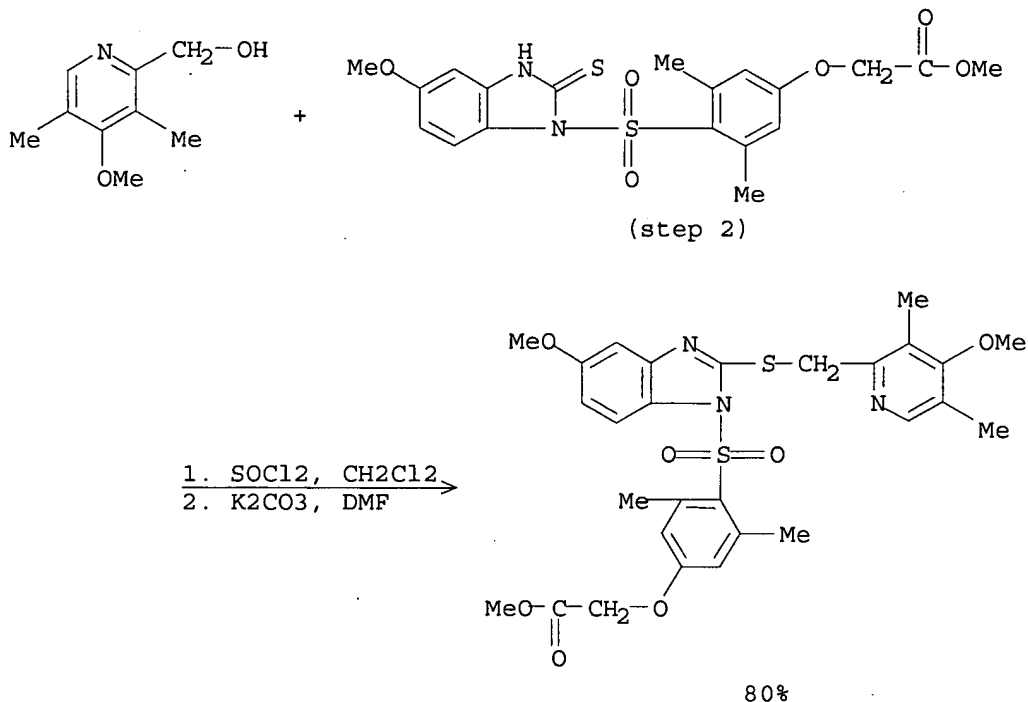
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038076	A1	20050217	US 2004-891317	20040713
AU 2004264401	A1	20050224	AU 2004-264401	20040115
CA 2532104	AA	20050224	CA 2004-2532104	20040115
WO 2005016917	A1	20050224	WO 2004-US1154	20040115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1644352	A1	20060412	EP 2004-702576	20040115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
CN 1823058	A	20060823	CN 2004-80020488	20040115
PRIORITY APPLN. INFO.:			US 2003-487340P	20030715
			WO 2004-US1154	20040115
OTHER SOURCE(S):			MARPAT 142:219287	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Syntheses of prodrugs I (R = alkylsulfonyl, arylsulfonyl, substituted arylsulfonyl, heteroarylsulfonyl, substituted heteroarylsulfonyl) of proton pump inhibitors such as omeprazole and pantoprazole are presented. Thus, methyl(3,5-dimethylphenoxy)acetate was added to chlorosulfonic acid to give the corresponding 4-chlorosulfonyl which was alkylated with 4-methoxy-2-nitroaniline. The nitro group of the alkylation product was reduced by treatment with H<sub>2</sub> and PtO<sub>2</sub>, and the resulting amine treated with thiocarbonyl diimidazole to give II. Treatment of II with 4-methoxy-3,5-dimethylpyridinemethanol followed by oxidation with 3-chloroperoxy benzoic acid and treatment with NaOH in H<sub>2</sub>O/dimethoxyethane gave the desired III.

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RX(120) OF 622 - 2 STEPS



NOTE: 2) chemoselective

CON: STEP(1.1) 30 minutes, room temperature; 30 minutes,  
room temperature  
STEP(2) 1.5 hours, room temperature

L3 ANSWER 2 OF 4 CASREACT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:357349 CASREACT

TITLE: Process for the preparation of 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole (pyrimethanil) from (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl alcohol (pyrimethyl alcohol).

INVENTOR(S): Gustavsson, Anders

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035565	A1	20040429	WO 2003-SE1602	20031015
WO 2004035565	C1	20050519		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,

TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2501542 AA 20040429 CA 2003-2501542 20031015

AU 2003269773 A1 20040504 AU 2003-269773 20031015

EP 1556370 A1 20050727 EP 2003-751703 20031015

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003015254 A 20050823 BR 2003-15254 20031015

CN 1705656 A 20051207 CN 2003-80101430 20031015

JP 2006505567 T2 20060216 JP 2004-545137 20031015

ZA 2005002577 A 20051012 ZA 2005-2577 20050330

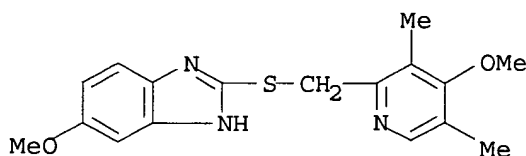
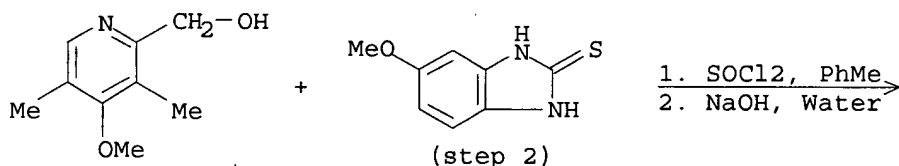
US 2006084811 A1 20060420 US 2005-531412 20050414

NO 2005002158 A 20050502 NO 2005-2158 20050502

PRIORITY APPLN. INFO.: SE 2002-3092 20021018  
 WO 2003-SE1602 20031015

AB Pyrimetazole was prepared by treatment of pyrmethyl alc. with a  
 chloro-dehydroxylating agent to give pyrmethyl chloride, which was treated  
 with metmercazole in the presence of base. Thus, pyrmethyl alc. in PhMe  
 saturated with H<sub>2</sub>O at 10° was treated with SOCl<sub>2</sub> over 60 min. to give  
 99% conversion to pyrmethyl chloride.

# RX(3) OF 3 - 2 STEPS



CON: STEP(1) 60 minutes, 25 - 30 deg C  
 STEP(2.1) room temperature -> 45 deg C, pH >12.5; 2 hours,  
 45 deg C

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CASREACT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 140:28738 CASREACT

TITLE: Synthesis of omeprazole

AUTHOR(S): Liu, Xiulan

CORPORATE SOURCE: Research Department, Shanxi Guardian Pharmaceuticals  
 Co. Ltd, Taiyuan, 030021, Peop. Rep. China

SOURCE: Shanxi Yike Daxue Xuebao (2002), 33(4), 330-332  
 CODEN: SDXYF5; ISSN: 1007-6611

PUBLISHER: Shanxi Yike Daxue Xuebao Bianjishi

DOCUMENT TYPE: Journal

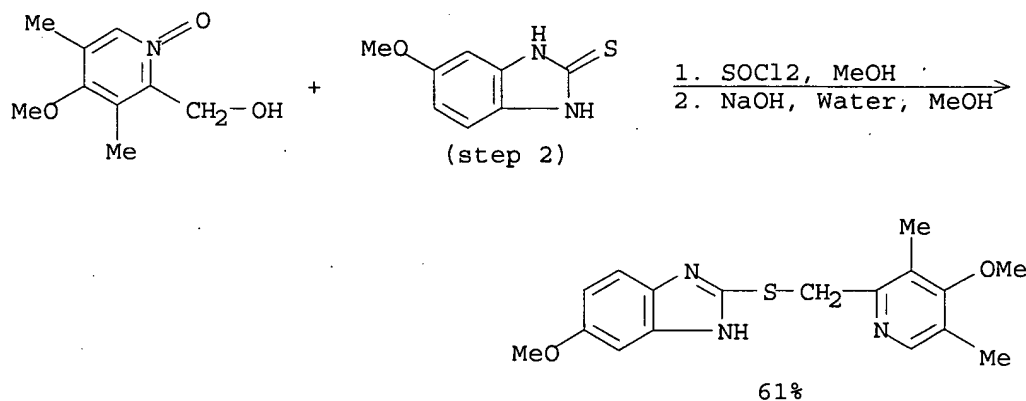
LANGUAGE: Chinese

AB The title compound was prepared from 5-methoxy-1H-benzimidazole-2-thiol by  
 condensation with 2-(chloromethyl)-4-methoxy-3,5-dimethylpyridine followed

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by oxidation with m-chloroperoxybenzoic acid. The yield was 84.6%.

RX(8) OF 32 - 2 STEPS



CON: STEP(1.1) room temperature  $\rightarrow$  -10 deg C; 30 minutes,  
-20 - -10 deg C; -20 - -10 deg C; 3 hours,  
-10 deg C  $\rightarrow$  room temperature; room temperature  
STEP(2.1) room temperature  $\rightarrow$  reflux; 6 hours, reflux

L3 ANSWER 4 OF 4 CASREACT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 106:84476 CASREACT

TITLE: The preparation of carbon-14-, sulfur-35-, and carbon-13-labeled forms of omeprazole

AUTHOR(S): Crowe, A. M.; Ife, R. J.; Mitchell, M. B.; Saunders, D.

CORPORATE SOURCE: Smith Kline and French Res. Ltd., The Frythe/Welwyn/Hertfordshire, AL6 9AR, UK

SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals (1986), 23(1), 21-33

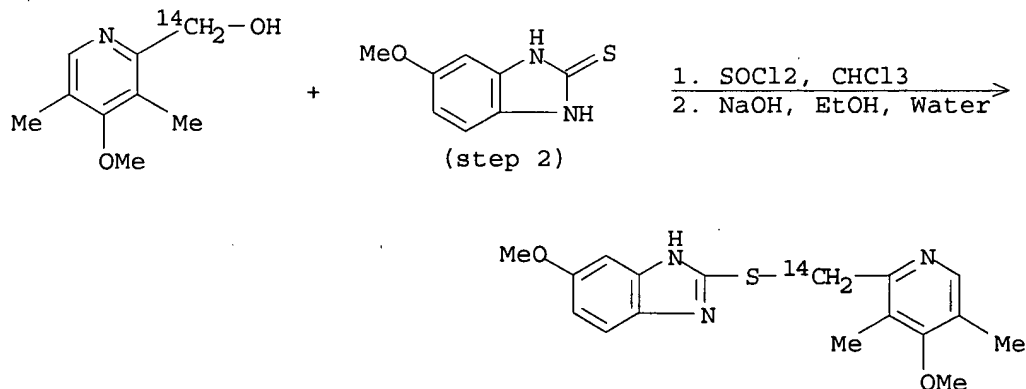
CODEN: JLCRD4; ISSN: 0362-4803

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Omeprazoles labeled with carbon-13 or -14 at the benzimidazole position, sulfur-35, or carbon-14 at the methylene position (4 compds.) were prepared

RX(24) OF 42 - 2 STEPS



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=>

10/531,412

=> file caplus

FILE 'CAPLUS' ENTERED AT 16:11:40 ON 21 SEP 2006

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FILE COVERS 1907 - 21 Sep 2006 VOL 145 ISS 13

FILE LAST UPDATED: 20 Sep 2006 (20060920/ED)

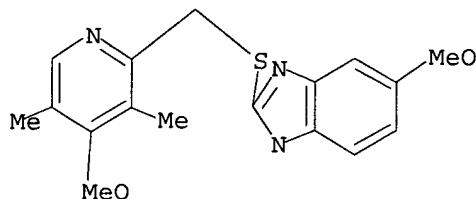
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<http://www.cas.org/infopolicy.html>

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L1

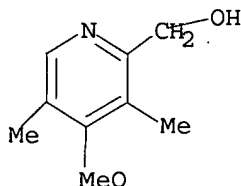
STR



Structure attributes must be viewed using STN Express query preparation.

L2

STR



Structure attributes must be viewed using STN Express query preparation.

L3

277 SEA FILE=REGISTRY SSS FUL L1

L4

4 SEA FILE=REGISTRY SSS FUL L2

L6

17 SEA FILE=CAPLUS L3 AND L4

=> d l6 1-17 ibib abs hitstr

L6 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:140807 CAPLUS

DOCUMENT NUMBER: 142:219287

TITLE: Process for preparing isomerically pure prodrugs of proton pump inhibitors such as omeprazole and

10/531,412

INVENTOR(S): pantoprazole  
Garst, Michael E.; Dolby, Lloyd Jay; Esfandiari,  
Shervin; Mackenzie, Vivian Rose; Avey, Alfred Arthur;  
Muchmore, David Charles; Cooper, Geoffrey Kenneth;  
Malone, Thomas C.  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 44 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005038076	A1	20050217	US 2004-891317	20040713
AU 2004264401	A1	20050224	AU 2004-264401	20040115
CA 2532104	AA	20050224	CA 2004-2532104	20040115
WO 2005016917	A1	20050224	WO 2004-US1154	20040115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1644352	A1	20060412	EP 2004-702576	20040115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
CN 1823058	A	20060823	CN 2004-80020488	20040115
PRIORITY APPLN. INFO.:			US 2003-487340P	P 20030715
			WO 2004-US1154	W 20040115
OTHER SOURCE(S):		CASREACT 142:219287; MARPAT 142:219287		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Syntheses of prodrugs I (R = alkylsulfonyl, arylsulfonyl, substituted arylsulfonyl, heteroarylsulfonyl, substituted heteroarylsulfonyl) of proton pump inhibitors such as omeprazole and pantoprazole are presented. Thus, methyl(3,5-dimethylphenoxy)acetate was added to chlorosulfonic acid to give the corresponding 4-chlorosulfonyl which was alkylated with 4-methoxy-2-nitroaniline. The nitro group of the alkylation product was reduced by treatment with H<sub>2</sub> and PtO<sub>2</sub>, and the resulting amine treated with thiocarbonyl diimidazole to give II. Treatment of II with 4-methoxy-3,5-dimethylpyridinemethanol followed by oxidation with 3-chloroperoxy benzoic acid and treatment with NaOH in H<sub>2</sub>O/dimethoxyethane gave the desired III.

IT 519182-98-0P 651728-64-2P 651729-34-9P  
651729-35-0P 651729-45-2P 651729-48-5P  
651729-53-2P 651729-57-6P 651729-61-2P  
651729-67-8P 651729-68-9P 651729-75-8P  
651729-76-9P 651729-77-0P 651729-90-7P  
651729-91-8P 843615-41-8P 843615-50-9P  
843615-54-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic

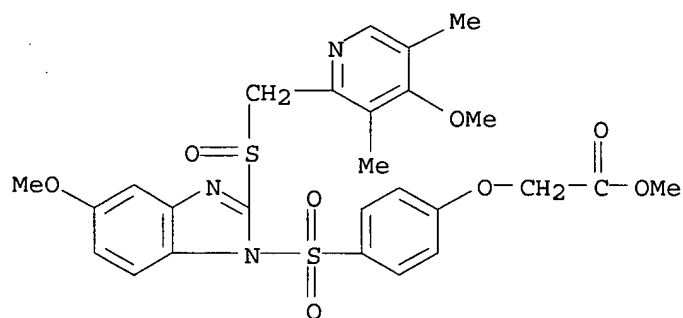


10/531,412

preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for preparing isomerically pure N-arylsulfonyl benzimidazole  
prodrugs of the known proton pump inhibitors omeprazole and  
pantoprazole)

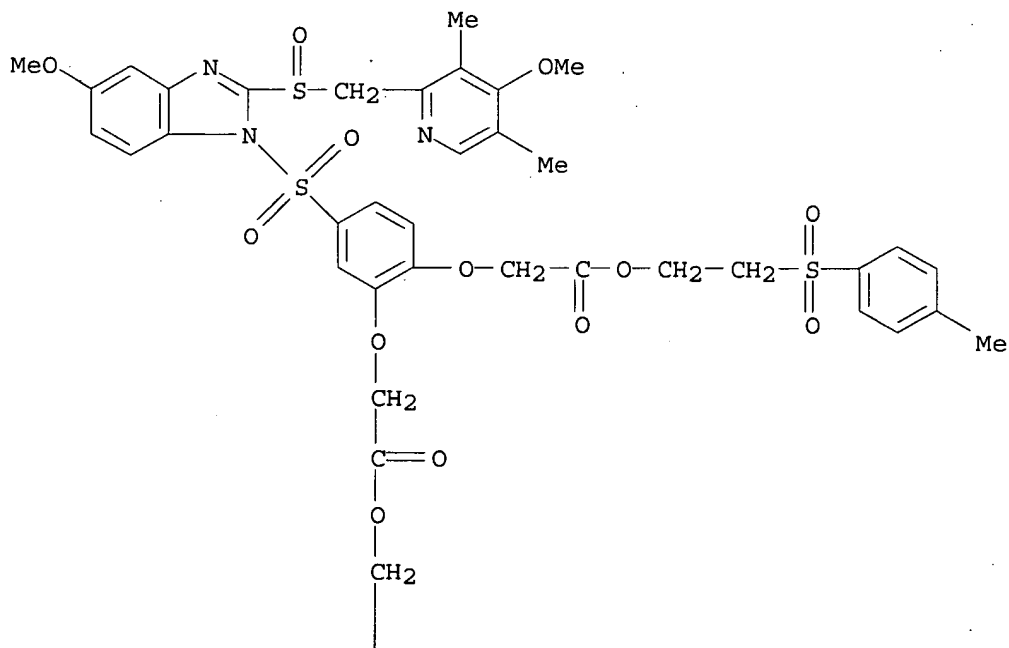
RN 519182-98-0 CAPLUS

CN Acetic acid, [4-[[5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-  
pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]-, methyl  
ester (9CI) (CA INDEX NAME)

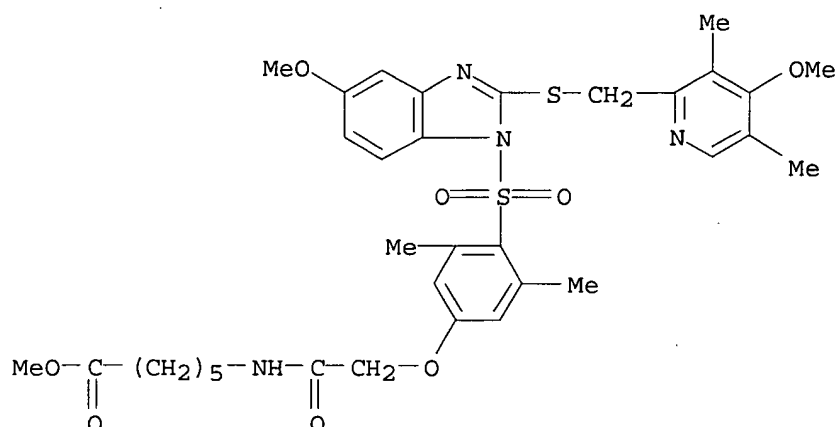


RN 651728-64-2 CAPLUS

CN Acetic acid, 2,2'-[[4-[[5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-  
pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]-1,2-  
phenylene]bis(oxy)]bis-, bis[2-[(4-methylphenyl)sulfonyl]ethyl] ester  
(9CI) (CA INDEX NAME)



PAGE 1-A



L6 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:354929 CAPLUS

DOCUMENT NUMBER: 140:357349

TITLE: Process for the preparation of 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole (pyrimetazole) from (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl alcohol (pyrmethyl alcohol).

INVENTOR(S): Gustavsson, Anders

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035565	A1	20040429	WO 2003-SE1602	20031015
WO 2004035565	C1	20050519		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2501542	AA	20040429	CA 2003-2501542	20031015
AU 2003269773	A1	20040504	AU 2003-269773	20031015
EP 1556370	A1	20050727	EP 2003-751703	20031015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015254	A	20050823	BR 2003-15254	20031015
CN 1705656	A	20051207	CN 2003-80101430	20031015
JP 2006505567	T2	20060216	JP 2004-545137	20031015
ZA 2005002577	A	20051012	ZA 2005-2577	20050330
US 2006084811	A1	20060420	US 2005-531412	20050414
NO 2005002158	A	20050502	NO 2005-2158	20050502
PRIORITY APPLN. INFO.:			SE 2002-3092	A 20021018

OTHER SOURCE(S): CASREACT 140:357349

AB Pyrimetazole was prepared by treatment of pyrmethyl alc. with a chloro-dehydroxylating agent to give pyrmethyl chloride, which was treated with metmercazole in the presence of base. Thus, pyrmethyl alc. in PhMe saturated with H<sub>2</sub>O at 10° was treated with SOCl<sub>2</sub> over 60 min. to give 99% conversion to pyrmethyl chloride.

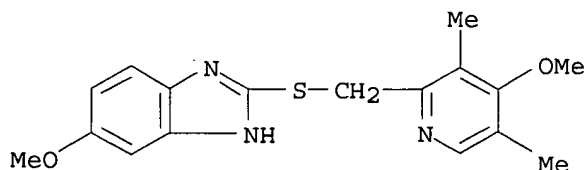
IT 73590-85-9P, 5-Methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of pyrimetazole from pyrmethyl alc.)

RN 73590-85-9 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



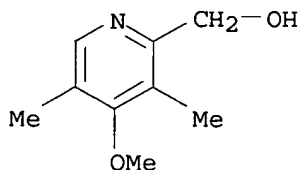
IT 86604-78-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrimetazole from pyrmethyl alc.)

RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:80681 CAPLUS

DOCUMENT NUMBER: 140:146138

TITLE: Preparation of pyridylmethyl N-sulfonylbenzimidazolyl sulfoxides as prodrugs of proton pump inhibitors with improved aqueous solubility and bioavailability for use as anti-ulcer agents

INVENTOR(S): Garst, Michael E.; Sachs, George; Shin, Jai Moo

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 219 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009583	A2	20040129	WO 2003-US22419	20030715

PRIORITY APPLN. INFO.:

OTHER SOURCE(S) : MARPAT 140:146138  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

and

IT 73590-58-6P

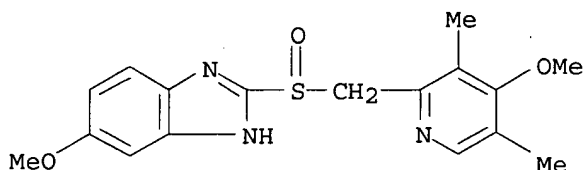
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT

(Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of pyridylmethyl N-sulfonylbenzimidazolyl sulfoxides as prodrugs of proton pump inhibitors with improved aqueous solubility and bioavailability for use as anti-ulcer agents)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



IT 651728-41-5P, [4-[[[5-Methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt 651728-60-8P, 2-Methoxy-5-[[[5-methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt 651728-66-4P, [2-Carboxymethoxy-4-[[[5-methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid disodium salt 651728-75-5P, 3-[[[5-Methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt 651728-86-8P, 3-[[[5-Methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-4-methylbenzoic acid sodium salt 651728-96-0P, [3,5-Dimethyl-4-[[[5-methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid sodium salt 651729-04-3P, 3-[2-Methoxy-5-[[[5-methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenyl]propionic acid sodium salt 651729-13-4P, [[3-Isopropyl-4-[[[5-methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-5-methylphenyl]oxy]acetic acid sodium salt 651729-25-8P, 2-(Carboxymethoxy)-5-[[[5-methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid disodium salt 651729-50-9P, 3-[4-[[[5-Methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]-3,5-dimethylphenyl]oxy]-2,2-dimethylpropionic acid sodium salt 651729-53-2P, [4-[[[5-Methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]acetic acid 651729-69-0P, 4-Methoxy-3-[[[5-methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]benzoic acid sodium salt 651729-78-1P, 3-[4-[[[5-Methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenoxy]-2,2-dimethylpropionic acid sodium salt 651729-92-9P, 3-[4-[[[5-Methoxy-2-[[[(4-methoxy-3,5-dimethylpyridin-2-yl)methyl]sulfinyl]benzimidazol-1-yl]sulfonyl]phenyl]propanoic acid sodium salt

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

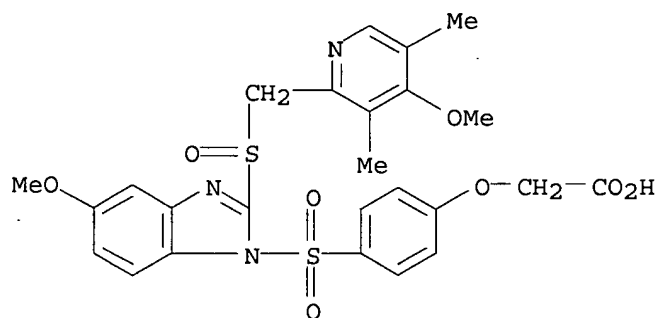
(drug candidate; preparation of pyridylmethyl N-sulfonylbenzimidazolyl sulfoxides as prodrugs of proton pump inhibitors with improved aqueous solubility and bioavailability for use as anti-ulcer agents)

RN 651728-41-5 CAPLUS

CN Acetic acid, [4-[[[5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenoxy]-, sodium

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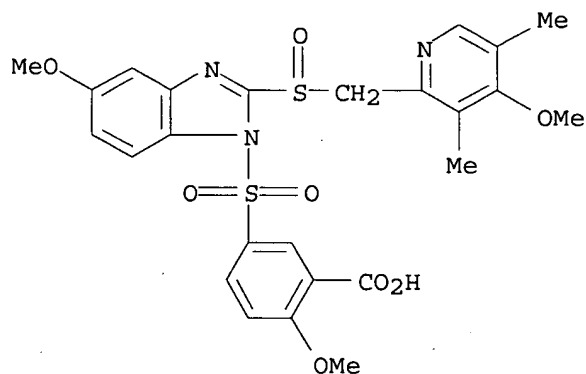
salt (9CI) (CA INDEX NAME)



● Na

RN 651728-60-8 CAPLUS

CN Benzoic acid, 2-methoxy-5-[[5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfonyl]-1H-benzimidazol-1-yl]sulfonyl]-, sodium salt (9CI) (CA INDEX NAME)

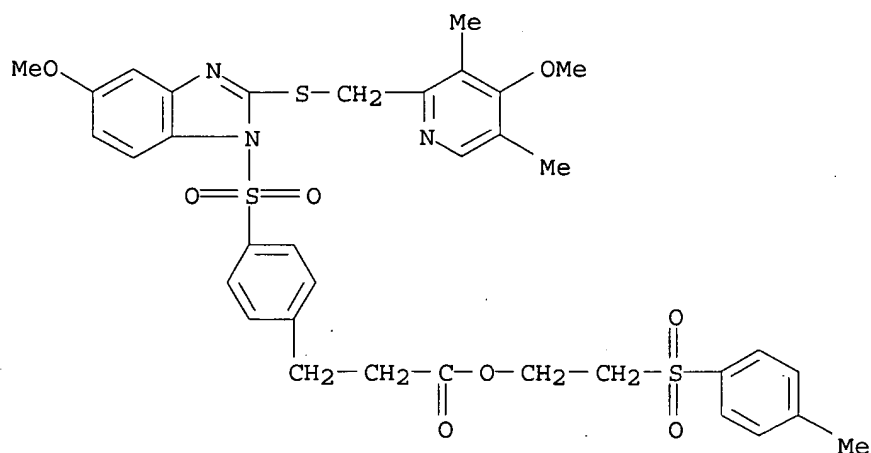


● Na

RN 651728-66-4 CAPLUS

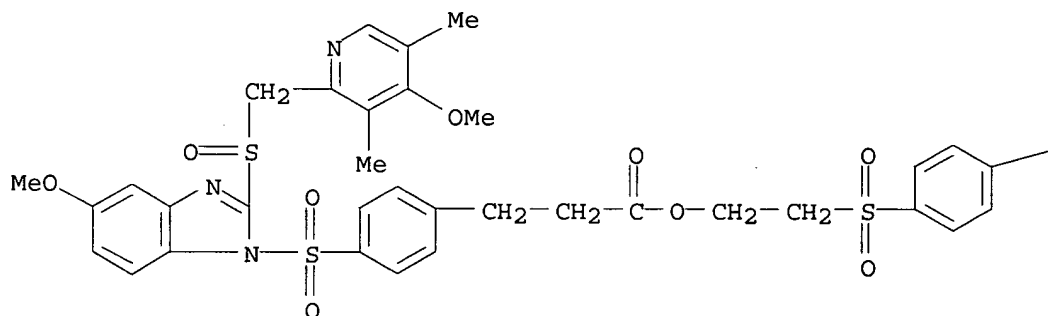
CN Acetic acid, 2,2'-[[4-[[5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfonyl]-1H-benzimidazol-1-yl]sulfonyl]-1,2-phenylene]bis(oxy)]bis-, disodium salt (9CI) (CA INDEX NAME)

10/531,412



RN 651729-91-8 CAPLUS  
CN Benzenepropanoic acid, 4-[[5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]-, 2-[(4-methylphenyl)sulfonyl]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

— Me

L6 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2003:611907 CAPLUS  
DOCUMENT NUMBER: 140:28738  
TITLE: Synthesis of omeprazole  
AUTHOR(S): Liu, Xiulan  
CORPORATE SOURCE: Research Department, Shanxi Guardian Pharmaceuticals Co. Ltd, Taiyuan, 030021, Peop. Rep. China  
SOURCE: Shanxi Yike Daxue Xuebao (2002), 33(4), 330-332  
CODEN: SDXYF5; ISSN: 1007-6611  
PUBLISHER: Shanxi Yike Daxue Xuebao Bianjishi  
DOCUMENT TYPE: Journal

LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 140:28738

AB The title compound was prepared from 5-methoxy-1H-benzimidazole-2-thiol by condensation with 2-(chloromethyl)-4-methoxy-3,5-dimethylpyridine followed by oxidation with m-chloroperoxybenzoic acid. The yield was 84.6%.

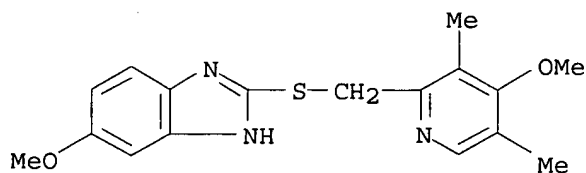
IT 73590-85-9P, 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(preparation and oxidation with chloroperoxybenzoic acid)

RN 73590-85-9 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)

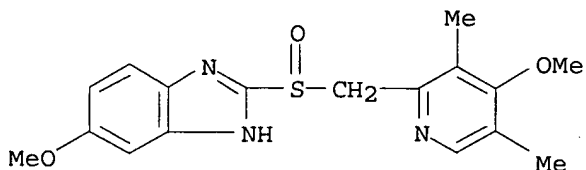


IT 73590-58-6P, Omeprazole

RL: CPS (Chemical process); IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PREP (Preparation); PROC (Process) (process for production of)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



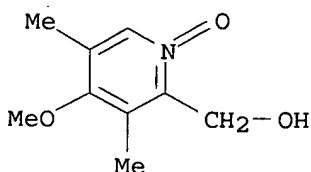
IT 287118-45-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for production of)

RN 287118-45-0 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl-, 1-oxide (9CI) (CA INDEX NAME)



L6 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:219763 CAPLUS

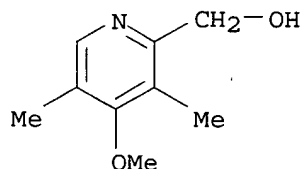
DOCUMENT NUMBER: 138:204944

TITLE: Preparation of pyridine derivatives as intermediates

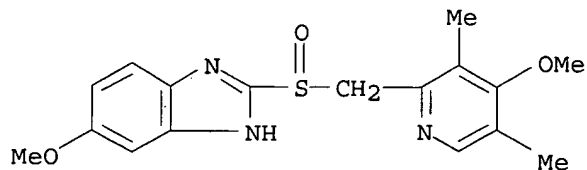


for antiulcer omeprazole  
 INVENTOR(S): Tzou, Shian-Yan; Chen, Sz-Shian; Chen, Sz-Feng  
 PATENT ASSIGNEE(S): Development Center for Biotechnology, Taiwan  
 SOURCE: Taiwan, 4 pp.  
 CODEN: TWXXA5  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Chinese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
TW 434206	B	20010516	TW 1997-86104131	19970401
PRIORITY APPLN. INFO.:			TW 1997-86104131	19970401
OTHER SOURCE(S): MARPAT 138:204944				
AB Title compds. such as 2,3,5-trimethylpyridine, 2,3,5-trimethyl-4-methoxypyridine, 2-cyano-3,5-dimethyl-4-methoxypyridine, 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine, and 2-chloromethyl-3,5-dimethyl-4-methoxypyridines, useful as intermediates for omeprazole, are prepared by various methods. For example, dehydration of pyridine-2-carboxamides with P2O5 gave 2-cyanopyridines.				
IT 86604-78-6P, 2-Hydroxymethyl-3,5-dimethyl-4-methoxypyridine				
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)				
(preparation of pyridine derivs. as intermediates for antiulcer omeprazole)				
RN	86604-78-6 CAPLUS			
CN	2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)			



IT 73590-58-6P, Omeprazole  
 RL: PNU (Preparation, unclassified); PREP (Preparation)  
 (preparation of pyridine derivs. as intermediates for antiulcer omeprazole)  
 RN 73590-58-6 CAPLUS  
 CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2003:62635 CAPLUS  
 DOCUMENT NUMBER: 138:73177  
 TITLE: Preparation of 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine and its intermediates  
 INVENTOR(S): Tzou, Shian-Yan; Li, Fang-Yu; Wang, Hung-Jiun; Hung, Jiun-Lung  
 PATENT ASSIGNEE(S): Yung Shin Pharm. Ind. Co., Ltd., Taiwan

10/531,412

SOURCE: Taiwan, 15 pp.  
CODEN: TWXXA5  
DOCUMENT TYPE: Patent  
LANGUAGE: Chinese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
TW 393467	B	20000611	TW 1996-85114825	19961128
PRIORITY APPLN. INFO.:			TW 1996-85114825	19961128

OTHER SOURCE(S): CASREACT 138:73177

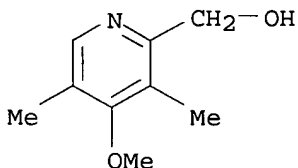
AB The title compound, an intermediate for antiulcer omeprazole, is prepared in several steps starting from 1-alkoxy-2-methyl-1-penten-3-one by cyclization, amination, hydrogenolysis, halogenation, and methoxylation.

IT 86604-78-6P, 2-Hydroxymethyl-3,5-dimethyl-4-methoxypyridine  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine and its intermediates)

RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)

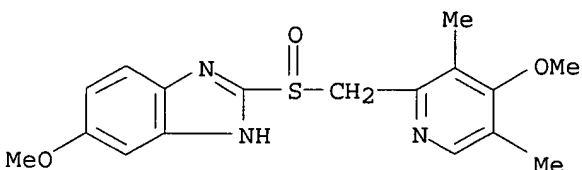


IT 73590-58-6P, Omeprazole

RL: PNU (Preparation, unclassified); PREP (Preparation)  
(preparation of 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine as intermediate for antiulcer omeprazole)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[ (4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:15181 CAPLUS

DOCUMENT NUMBER: 132:64176

TITLE: Preparation of 2-hydroxymethylpyridine metal complexes as intermediates for pyridinebenzimidazoles.

INVENTOR(S): Nikolopoulos, Angelo; Schickaneder, Helmut; Kocher, Christian; Murphy, Trevor; Hermann, Gesine

PATENT ASSIGNEE(S): Russinsky Limited, Ire.

SOURCE: PCT Int. Appl., 34 pp.

CODEN: PIXXD2

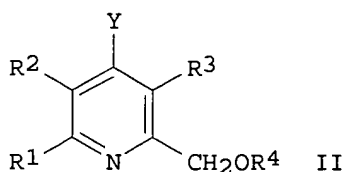
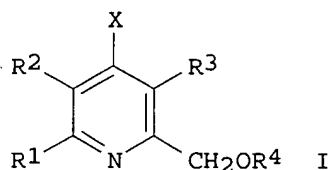
DOCUMENT TYPE: Patent

LANGUAGE: English

10/531,412

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000000474	A1	20000106	WO 1999-IE55	19990618
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DE, DK, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9943877	A1	20000117	AU 1999-43877	19990618
PRIORITY APPLN. INFO.:			IE 1998-514	A 19980626
			WO 1999-IE55	W 19990618
OTHER SOURCE(S):		CASREACT 132:64176; MARPAT 132:64176		
GI				

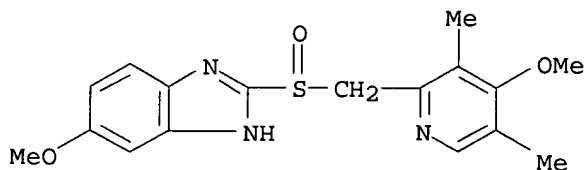


AB IkMzAl(OR<sub>5</sub>)mSn [R<sub>1</sub>-R<sub>3</sub> = H, alkyl, CF<sub>3</sub>, CHF<sub>2</sub>, CH<sub>2</sub>F, alkoxy, alkoxyalkoxy, OCH<sub>2</sub>CF<sub>3</sub>; R<sub>4</sub> = H, alkyl, PhCH<sub>2</sub>, AcO, PhCH<sub>2</sub>O, trialkylsilyl, neg. charge; R<sub>5</sub> = alkyl, aryl, CH<sub>2</sub>CF<sub>3</sub>, CF<sub>3</sub>, CHF<sub>2</sub>, alkylalkoxy; X = halo, NO<sub>2</sub>, SO<sub>3</sub>, OH; M = alkaline earth metal, third main group element, transition metal; S = solvent; k = 1-4; l = 1-3; m = 0-3; n ≥ 0; z = 1+m; with a proviso] and IIkMz(OR<sub>5</sub>)mSn [Y = alkoxy, aryloxy, OCH<sub>2</sub>CF<sub>3</sub>, alkoxyalkoxy, alkylthio, alkylthioalkylthio; z = m; other variables as above], were prepared Thus, 4-nitro-2,3,5-trimethylpyridine N-oxide was heated in HOAc/Ac<sub>2</sub>O at 20-100° for 1 h to give 88% 2-acetoxymethyl derivative, which was stirred at 10-30° with NaOH in EtOH for 1 h to give 84% 3,5-dimethyl-2-hydroxymethyl-4-nitropyridine (II). II in MeOH was treated with ZnCl<sub>2</sub> and with NaOMe in MeOH to give 100% Zn(II)ClOMe.

IT 73590-58-6P, Omeprazole  
RL: PNU (Preparation, unclassified); PREP (Preparation)  
(preparation of 2-hydroxymethylpyridine metal complexes as intermediates for pyridinebenzimidazoles)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



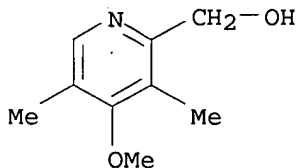
IT 86604-78-6P, 2-Hydroxymethyl-3,5-dimethyl-4-methoxypyridine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

10/531,412

(preparation of 2-hydroxymethylpyridine metal complexes as intermediates for pyridinebenzimidazoles)

RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



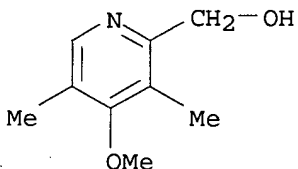
IT 96300-88-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of 2-hydroxymethylpyridine metal complexes as intermediates for pyridinebenzimidazoles)

RN 96300-88-8 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:166599 CAPLUS

DOCUMENT NUMBER: 130:196579

TITLE: Preparation of pyridine derivatives

INVENTOR(S): Tarbit, Brian

PATENT ASSIGNEE(S): Seal Sands Chemicals Limited, UK

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910326	A1	19990304	WO 1998-GB2465	19980824
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

10/531,412

AU 9888690

A1 19990316

AU 1998-88690

19980824

EP 1005457

A1 20000607

EP 1998-940348

19980824

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI

PRIORITY APPLN. INFO.:

GB 1997-17849

A 19970823

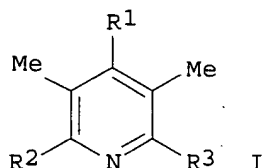
WO 1998-GB2465

W 19980824

OTHER SOURCE(S):

MARPAT 130:196579

GI



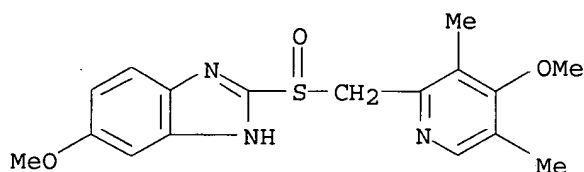
AB Pyridine derivs. I (R1 = NO2, Cl, Br, OH; R2 = H, HOCH2; R3 = HOCH2, ClCH2, BrCH2) or the N-oxides of these compds. were prepared E.g., treating 3,5-lutidine N-oxide with HNO3/H2SO4 gave 79% 4-nitro-3,5-lutidine N-oxide.

IT 73590-58-6P, Omeprazole

RL: PNU (Preparation, unclassified); PREP (Preparation)  
(preparation of pyridine derivs.)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

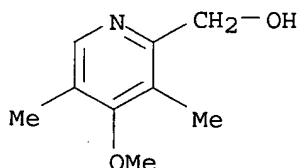


IT 86604-78-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of pyridine derivs.)

RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:745033 CAPLUS

DOCUMENT NUMBER: 129:343418

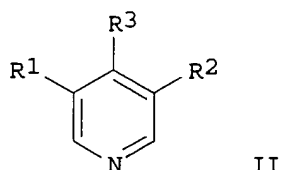
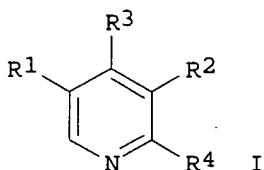
TITLE: Synthesis of pyridine derivatives useful as

pharmaceutical intermediates under free radical conditions.

INVENTOR(S): Zoghbi, Michel; Chen, Liquin  
 PATENT ASSIGNEE(S): Pdi-Research Laboratories, Inc., Can.  
 SOURCE: PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850361	A2	19981112	WO 1998-CA375	19980421
WO 9850361	A3	19990204		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2204580	AA	19981106	CA 1997-2204580	19970506
AU 9870220	A1	19981127	AU 1998-70220	19980421
PRIORITY APPLN. INFO.:			CA 1997-2204580	A 19970506
			WO 1998-CA375	W 19980421
OTHER SOURCE(S):			CASREACT 129:343418; MARPAT 129:343418	
GI				

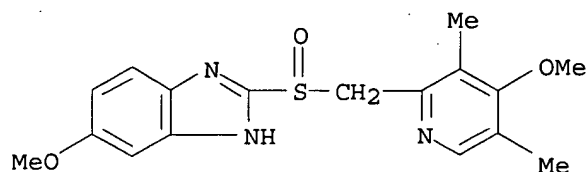


AB Title compds. (I; R1, R2 = H, Me; R3 = H, alkoxy, OCH2CF3, cyano, halo, acetoxy, aryloxy, electron withdrawing group; R4 = alkyl, acyl, amide, alkoxycarbonyl, aryloxycarbonyl, CO2H, PhOCH2, CH2OH or equivs.), were prepared by reaction of compds. (II; variables as above) under free radical conditions with R4 radical. Thus, 4-chloro-3,5-dimethylpyridine (preparation given) in aqueous H2SO4/PhMe was treated with a mixture prepared from Et pyruvate and 30-35% aqueous H2O2 and with an aqueous solution of iron sulfate to give >90% Et 4-chloro-3,5-dimethylpyridine-2-carboxylate. This was converted to 3,5-dimethyl-2-hydroxymethyl-4-methoxypyridine.

IT 73590-58-6P, Omeprazole  
 RL: PNU (Preparation, unclassified); PREP (Preparation)  
 (synthesis of pyridine derivs. useful as pharmaceutical intermediates under free radical conditions)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

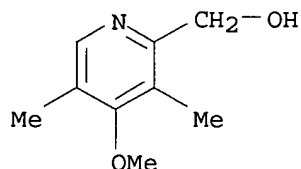


IT 86604-78-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (synthesis of pyridine derivs. useful as pharmaceutical intermediates  
 under free radical conditions)

RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:269992 CAPLUS

DOCUMENT NUMBER: 128:316917

TITLE: Structure-Activity Relationship of  
 2-[[[(2-Pyridyl)methyl]thio]-1H-benzimidazoles as Anti  
 Helicobacter pylori Agents in Vitro and Evaluation of  
 their in Vivo Efficacy

AUTHOR(S): Kuehler, Thomas C.; Swanson, Marianne; Shcherbuchin,  
 Vladimir; Larsson, Haakan; Mellgaard, Bjoern;  
 Sjoestroem, Jan-Eric

CORPORATE SOURCE: Departments of Medicinal Chemistry Pharmacology and  
 Cell Biology, Astra Haessle AB, Moeludal, 431 83,  
 Swed.

SOURCE: Journal of Medicinal Chemistry (1998), 41(11),  
 1777-1788

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A relation between the structure of 21 2-[[[(2-pyridyl)methyl]thio]-1H-  
 benzimidazoles and their anti Helicobacter pylori activity expressed as  
 min. bactericidal concentration (MBC) values is described. Observed MBCs  
 ranged

from 256 to 1 µg/mL. The structure-activity relation (SAR) showed that  
 larger and more lipophilic compds., especially compds. with such substituents  
 in

the 4-position of the pyridyl moiety, generally had lower MBC values.  
 Four new compds. that were predicted to be potent by the established SAR  
 model were synthesized and tested. One such compound, i.e.,  
 2-[[[(4-[(cyclopropylmethyl)oxy]-3-methyl-2-pyridyl)methyl]thio]-1H-  
 benzimidazole, was tested for in vivo efficacy in a mouse Helicobacter  
 felis model (125 µmol/kg bid given orally for 4 days, n = 4).  
 Unfortunately, antibacterial activity could not be clearly demonstrated in  
 this model. Instead a potent acid secretion inhibition was observed This  
 finding was attributed to the methylthio compound being oxidized to the  
 corresponding Me sulfinyl derivative, i.e., a proton pump inhibitor, in vivo.

Although the antibacterial activity had the potential of decreasing *H. felis* cell counts in vivo the proton pump inhibitory effect became dominant and actually promoted *H. felis* cell growth. Hence, the antibacterial utility of the 2-[[[(2-pyridyl)methyl]thio]-1H-benzimidazoles as a compound class is compromised by their propensity to become proton pump inhibitors upon metabolic oxidation in vivo.

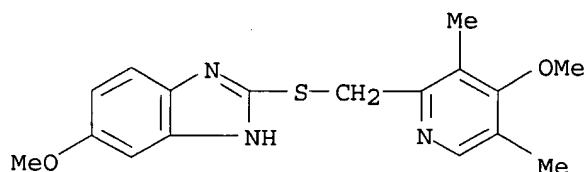
IT 73590-85-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(preparation and activity of 2-[[[(2-pyridyl)methyl]thio]-1H-benzimidazoles as anti helicobacter pylori agents)

RN 73590-85-9 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



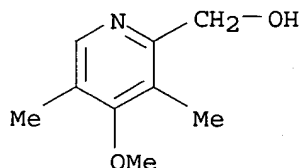
IT 86604-78-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and activity of 2-[[[(2-pyridyl)methyl]thio]-1H-benzimidazoles as anti helicobacter pylori agents)

RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:501539 CAPLUS

DOCUMENT NUMBER: 127:121711

TITLE: Method for the synthesis of a benzimidazole compound

INVENTOR(S): Gustavsson, Anders; Kallstrom, Ake

PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.; Gustavsson, Anders; Kallstrom, Ake

SOURCE: PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722603	A1	19970626	WO 1996-SE1603	19961205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				



DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,  
 RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN  
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,  
 IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,  
 MR, NE, SN, TD, TG

SE 9504503	A	19970616	SE 1995-4503	19951215
SE 521100	C2	20030930		
ZA 9610067	A	19970617	ZA 1996-10067	19961129
TW 460474	B	20011021	TW 1996-85114881	19961203
CA 2238864	AA	19970626	CA 1996-2238864	19961205
CA 2238864	C	20060124		
AU 9711550	A1	19970714	AU 1997-11550	19961205
AU 704422	B2	19990422		
EP 868423	A1	19981007	EP 1996-942702	19961205
EP 868423	B1	20010905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CN 1204332	A	19990106	CN 1996-199057	19961205
CN 1113879	B	20030709		
JP 2000502101	T2	20000222	JP 1997-522697	19961205
JP 3523267	B2	20040426		
NZ 324482	A	20000428	NZ 1996-324482	19961205
RU 2166502	C2	20010510	RU 1998-110659	19961205
CZ 288661	B6	20010815	CZ 1998-1685	19961205
AT 205201	E	20010915	AT 1996-942702	19961205
SK 282347	B6	20020107	SK 1998-768	19961205
ES 2125210	T3	20020201	ES 1996-942702	19961205
PT 868423	T	20020228	PT 1996-942702	19961205
EE 3768	B1	20020617	EE 1998-183	19961205
IL 124856	A1	20021201	IL 1996-124856	19961205
PL 186132	B1	20031031	PL 1996-327334	19961205
HR 960581	B1	20011231	HR 1996-960581	19961209
US 5958955	A	19990928	US 1997-776222	19970123
NO 9802624	A	19980608	NO 1998-2624	19980608
NO 314306	B1	20030303		
HK 1010539	A1	20020328	HK 1998-111601	19981029
PRIORITY APPLN. INFO.:			SE 1995-4503	A 19951215
			WO 1996-SE1603	W 19961205

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB A process for the manufacture of omeprazole (I) from pyrmethyl alc. (II) via pyrimethyl chloride (III) and pyrmatazole (IV) characterized in that the whole reaction sequence is carried out without any isolation or purification of intermediates. Further that the reaction is carried out in a main solvent system common for the whole reaction sequence and inert to the reactants formed during the process and used in the process. The process according to the present invention may also include an addnl. purification step. SOCl<sub>2</sub> 17.8g in 13 mL CH<sub>2</sub>Cl<sub>2</sub> was added to 16.8g II and stirred for 30 min to give III. 2-Mercapto-5-methoxybenzimidazole 18.0g, NaOH, 0.098 g Bu<sub>4</sub>NBr were combined with III at 25-40° and refluxed for 1-2 h to give IV. m-Chloroperoxybenzoic acid 22.3g, CH<sub>2</sub>Cl<sub>2</sub>, and 10 mL EtOH were charged to the prepared IV and oxidized at 0-15°, separated, washed, and precipitated to give 76% yield of I. I is an inhibitor of gastric secretion making it useful as an antiulcer agent.

IT 73590-85-9P

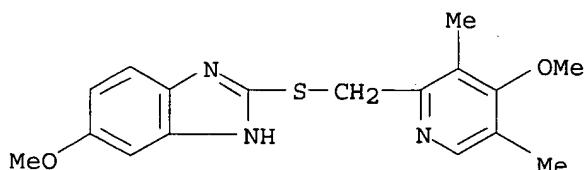
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic

10/531,412

preparation); PREP (Preparation); RACT (Reactant or reagent)  
(method for the synthesis of a benzimidazole compound)

RN 73590-85-9 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



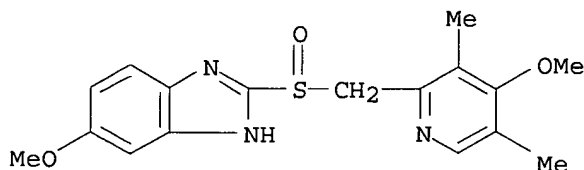
IT 73590-58-6P, Omeprazole

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(method for the synthesis of a benzimidazole compound)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



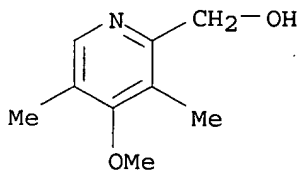
IT 86604-78-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(method for the synthesis of a benzimidazole compound)

RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:97611 CAPLUS

DOCUMENT NUMBER: 126:171462

TITLE: Synthesis of 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine: a key intermediate for omeprazole

AUTHOR(S): Chou, Shan-Yen; Chen, Shyh-Fong

CORPORATE SOURCE: Dev. Cent. Biotechnol., Taipei, Taiwan

SOURCE: Heterocycles (1997), 45(1), 77-85

CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

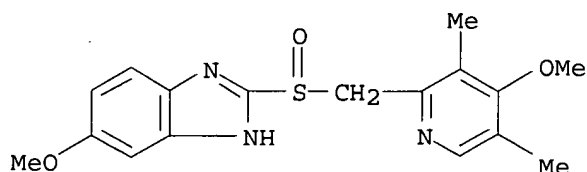
DOCUMENT TYPE: Journal

LANGUAGE: English

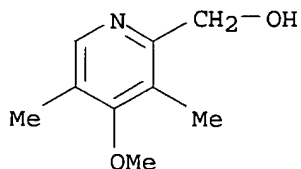
AB A synthesis of 2-hydroxymethyl-3,5-dimethyl-4-methoxypyridine, a key

10/531,412

intermediate for the preparation of gastric acid inhibiting compound  
omeprazole,  
is described. The procedure consists of preparation of pyrone, pyridone, and  
pyridine derivs. sequentially.  
IT 73590-58-6P, Omeprazole  
RL: PNU (Preparation, unclassified); PREP (Preparation)  
(preparation of (hydroxymethyl)dimethylmethoxypyridine as intermediate for  
omeprazole)  
RN 73590-58-6 CAPLUS  
CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-  
pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



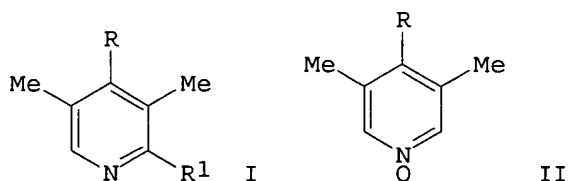
IT 86604-78-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of (hydroxymethyl)dimethylmethoxypyridine as intermediate for  
omeprazole)  
RN 86604-78-6 CAPLUS  
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1993:625834 CAPLUS  
DOCUMENT NUMBER: 119:225834  
TITLE: Process for preparation of 4-substituted  
2-(hydroxymethyl)-3,5-dimethylpyridines useful as  
omeprazole intermediates  
INVENTOR(S): Palomo Coll, Alberto  
PATENT ASSIGNEE(S): Centro Genesis para la Investigacion, S.L., Spain  
SOURCE: Span., 7 pp.  
CODEN: SPXXAD  
DOCUMENT TYPE: Patent  
LANGUAGE: Spanish  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2035767	A1	19930416	ES 1991-890	19910405
ES 2035767	B1	19940201		
PRIORITY APPLN. INFO.:			ES 1991-890	19910405
OTHER SOURCE(S):		CASREACT 119:225834		
GI				



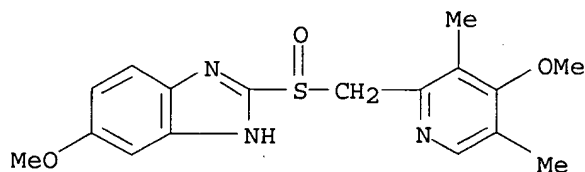
AB Title compds. I (R = NO<sub>2</sub>, OMe; R<sub>1</sub> = CH<sub>2</sub>OH), useful as intermediates for the antiulcer drug omeprazole, are prepared by a 3-step process. N-oxides II undergo cyanation and deoxygenation using Me<sub>3</sub>SiCN (may be formed in situ) to give nitriles I (R<sub>1</sub> = cyano), which are hydrolyzed to give acids I (R<sub>1</sub> = CO<sub>2</sub>H). The acids, after optional nucleophilic methoxylation (to convert R = NO<sub>2</sub> to R = OMe), are reduced to give I (R<sub>1</sub> = CH<sub>2</sub>OH). In the sole example, II (R = OMe) was treated with NaCN, Et<sub>3</sub>N, and Me<sub>3</sub>SiCl in DMF at 20-110°, and the crude product was hydrolyzed with 35% HCl at reflux, to give I (R = OMe, R<sub>1</sub> = CO<sub>2</sub>H). Claimed possibilities for reducing agents for the final step are borane, aluminum hydride, or LiAlH<sub>4</sub>.

IT 73590-58-6P, Omeprazole 86604-78-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of omeprazole intermediates)

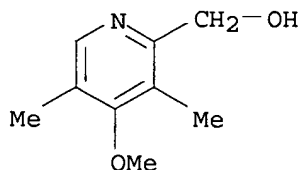
RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



L6 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:511478 CAPLUS

DOCUMENT NUMBER: 117:111478

TITLE: Improved preparation of 2-(halomethyl)-3,5-dimethyl-4-methoxypyridine hydrohalides, intermediates for omeprazole

INVENTOR(S): Palomo Coll, Alberto

PATENT ASSIGNEE(S): Centro Genesis para la Investigacion S.A., Spain

SOURCE: Span., 26 pp.

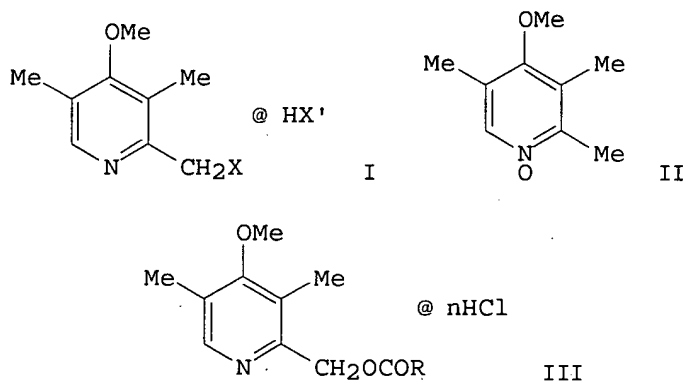
CODEN: SPXXAD

DOCUMENT TYPE: Patent

10/531,412

LANGUAGE: Spanish  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2024357	A6	19920216	ES 1990-3113	19901205
US 5292886	A	19940308	US 1991-796070	19911120
PRIORITY APPLN. INFO.:			ES 1990-3113	A 19901205
OTHER SOURCE(S):	CASREACT 117:111478; MARPAT 117:111478			
GI				



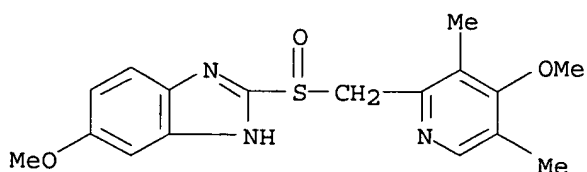
AB Title halides I (X, X' = same or different halo), useful as intermediates for the antiulcer agent omeprazole, are prepared from the N-oxide II in 3 steps: (1) O-acylation and acyloxylation of the Me group to give compds. III (R = Me, CCl<sub>3</sub>, CF<sub>3</sub>; n = 0, 1), (2) basic or acidic hydrolysis of III to give 2-(hydroxymethyl)-3,5-dimethyl-4-methoxypyridine (IV) or its HCl salt, and (3) halogenation of the alc. Thus, a solution of II in CH<sub>2</sub>Cl<sub>2</sub> was added slowly to Ac<sub>2</sub>O containing 4-(dimethylamino)pyridine catalyst at 90-95° to give, after aqueous quenching and distillation at reduced pressure, crude III (R = Me, n = 0) in nearly quant. yield. Hydrolysis of the latter by aqueous 30% NaOH at 25-28° and pH 11.7-13 with subsequent extraction and distillation in vacuo gave 92.4% IV. Treatment of IV with

SOCl<sub>2</sub> and DMF catalyst in CH<sub>2</sub>Cl<sub>2</sub> at 20-38° gave 86% I (X = X' = Cl). IR and/or 1H NMR spectra of the example product and intermediates are included.

IT 73590-58-6, Omeprazole  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (intermediates for, improved preparation of (halomethyl)dimethylmethoxypyridine hydrohalides as)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



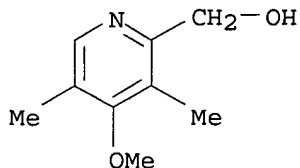
IT 86604-78-6P 96300-88-8P

10/531,412

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and conversion of, to chloromethyl analog)

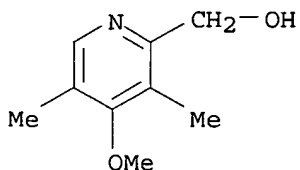
RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



RN 96300-88-8 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:55858 CAPLUS

DOCUMENT NUMBER: 112:55858

TITLE: Preparation of benzimidazolylpyridinium compounds and their pharmaceutical compositions as antiulcer agents

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.

SOURCE: Jpn. Kokai Tokkyo Koho, 74 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62042983	A2	19870224	JP 1986-187966	19860812
DK 8603370	A	19870213	DK 1986-3370	19860715
ZA 8605884	A	19870527	ZA 1986-5884	19860805
AU 8660943	A1	19870219	AU 1986-60943	19860806
US 4766133	A	19880823	US 1986-893856	19860806
FI 8603242	A	19870213	FI 1986-3242	19860808
EP 214479	A2	19870318	EP 1986-110990	19860808
EP 214479	A3	19870805		

R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE

HU 41766	A2	19870528	HU 1986-3450	19860808
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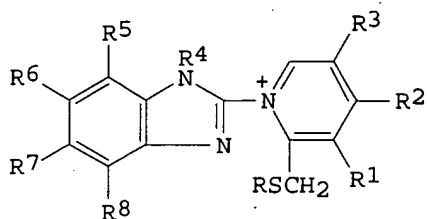
NO 8603228	A	19870213	NO 1986-3228	19860811
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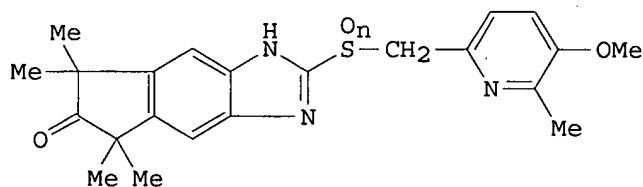
			CH 1986-2350	A	19860610
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OTHER SOURCE(S): MARPAT 112:55858

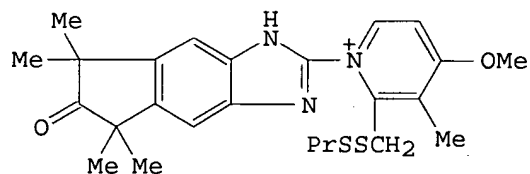
GI



I



II

Cl<sup>-</sup>

III

AB The title compds. (I; R = alkylthio, cycloalkylthio, SO<sub>3</sub><sup>-</sup>, SSO<sub>3</sub><sup>-</sup>, etc.; R<sub>1</sub>, R<sub>3</sub> = H, Cl-7 alkyl; R<sub>2</sub> = H, Cl-7 alkyl, alkoxy, O-; R<sub>4</sub> = H, neg. charge; R<sub>5</sub>-R<sub>8</sub> = H, Cl-7 alkyl, aryl, halo, etc.), useful as antiulcer and antisecretory agents, are prepared. I are effective therapeutic and prophylactic agents in treating peptic and duodenal ulcers. Sulfoxide II (n = 1), prepared by oxidation of sulfide II (n = 0), was treated with PrSH in 1N HCl to give pyridinium salt III, which showed ED<sub>50</sub> of 3.4 mg/kg p.o. in inhibiting gastric juice secretion in dogs with LD<sub>50</sub> of 312-625 mg/kg p.o. A capsule formulation was prepared from I 50.0, powdered lactose 40.0, crystalline

lactose 130.0, corn starch 20.0, talc 8.0, and Mg stearate 2.0 mg.

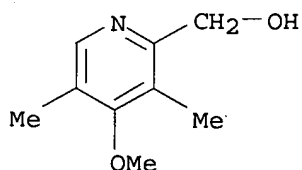
Similarly prepared were 181 addnl. I.

IT 86604-78-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, in preparation of antiulcer agents)

RN 86604-78-6 CAPLUS

CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)



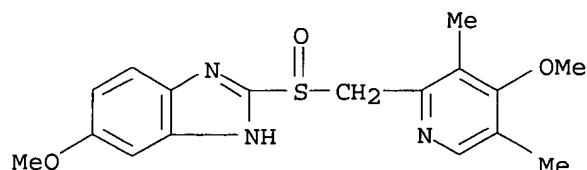
IT 73590-58-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in preparation of antiulcer agents)

RN 73590-58-6 CAPLUS

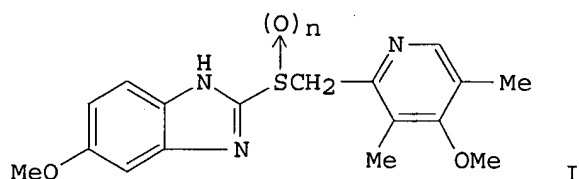
10/531,412

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1987:439810 CAPLUS  
DOCUMENT NUMBER: 107:39810  
TITLE: Process for the preparation of 2-[2-(3,5-dimethyl-4-methoxypyridyl)methylsulfinyl]-5-methoxybenzimidazole  
INVENTOR(S): Rubio Zurita, Pelayo; Rubio Zurita, Salvador  
PATENT ASSIGNEE(S): Laboratorios Rubio S. A., Spain  
SOURCE: Span., 11 pp.  
CODEN: SPXXAD  
DOCUMENT TYPE: Patent  
LANGUAGE: Spanish  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 543816	A1	19860116	ES 1985-543816	19850601
PRIORITY APPLN. INFO.: GI			ES 1985-543816	19850601



AB The title compound I (n = 1) (II; i.e. the antiulcer agent omeprazole) is prepared as follows. An aqueous solution of I-HCl (n = 0) was treated with powdered

m-ClC6H4C(O)OOH at 0° to give II.

IT 108928-02-5P

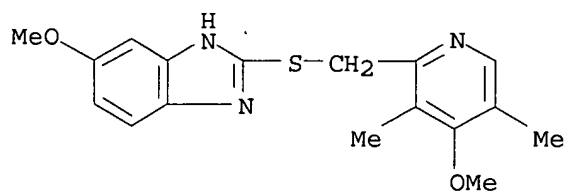
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and oxidation of)

RN 108928-02-5 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-, hydrochloride (9CI) (CA INDEX NAME)

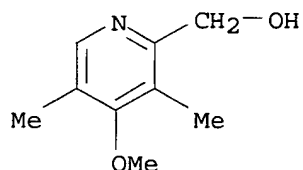


10/531,412



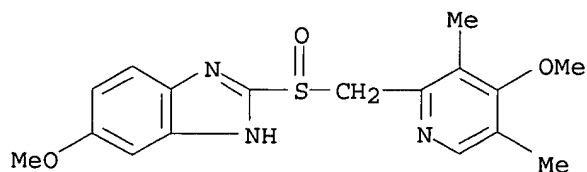
● x HCl

IT 96300-88-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for omeprazole)  
RN 96300-88-8 CAPLUS  
CN 2-Pyridinemethanol, 4-methoxy-3,5-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 73590-58-6P, Omeprazole  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, by oxidation of [(pyridylmethyl)thio]benzimidazole derivative)  
RN 73590-58-6 CAPLUS  
CN 1H-Benzimidazole, 5-methoxy-2-[[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1987:84476 CAPLUS  
DOCUMENT NUMBER: 106:84476  
TITLE: The preparation of carbon-14-, sulfur-35-, and carbon-13-labeled forms of omeprazole  
AUTHOR(S): Crowe, A. M.; Ife, R. J.; Mitchell, M. B.; Saunders, D.  
CORPORATE SOURCE: Smith Kline and French Res. Ltd., The Frythe/Welwyn/Hertfordshire, AL6 9AR, UK  
SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals

(1986), 23(1), 21-33  
 CODEN: JLCRD4; ISSN: 0362-4803

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 106:84476

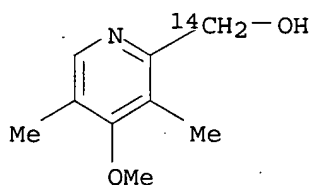
AB Omeprazoles labeled with carbon-13 or -14 at the benzimidazole position, sulfur-35, or carbon-14 at the methylene position (4 compds.) were prepared

IT 106658-26-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and chlorination of)

RN 106658-26-8 CAPLUS

CN 2-Pyridinemethanol-14C, 4-methoxy-3,5-dimethyl- (9CI) (CA INDEX NAME)

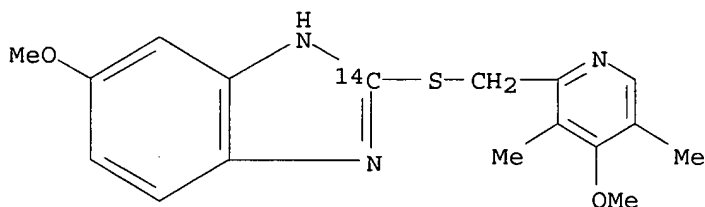


IT 106658-16-6P 106658-19-9P 106658-27-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and oxidation of)

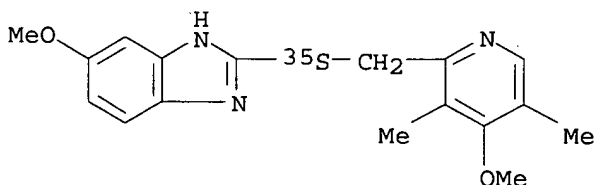
RN 106658-16-6 CAPLUS

CN 1H-Benzimidazole-2-14C, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



RN 106658-19-9 CAPLUS

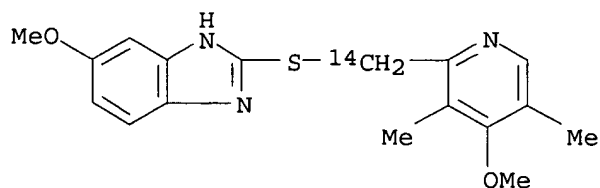
CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-35S]- (9CI) (CA INDEX NAME)



RN 106658-27-9 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl-14C]thio]- (9CI) (CA INDEX NAME)

10/531,412



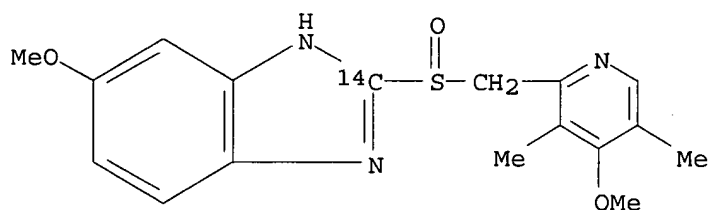
IT 106658-17-7P 106658-20-2P 106658-22-4P

106658-28-0P 106658-29-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

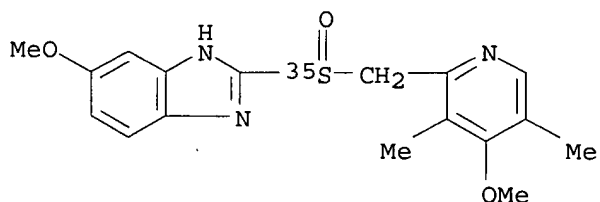
RN 106658-17-7 CAPLUS

CN 1H-Benzimidazole-2-14C, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



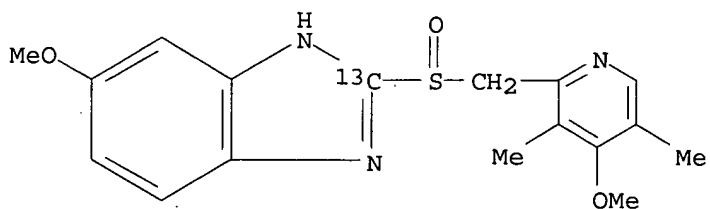
RN 106658-20-2 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl-35S]- (9CI) (CA INDEX NAME)



RN 106658-22-4 CAPLUS

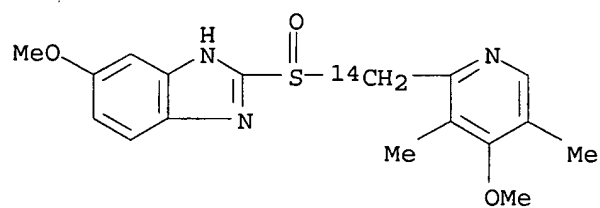
CN 1H-Benzimidazole-2-13C, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 106658-28-0 CAPLUS

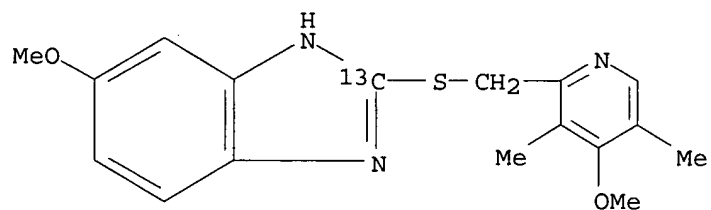
CN 1H-Benzimidazole, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl-14C]sulfinyl]- (9CI) (CA INDEX NAME)

10/531,412



RN 106658-29-1 CAPLUS

CN 1H-Benzimidazole-2-13C, 5-methoxy-2-[[4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



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